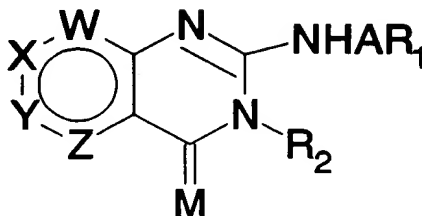


What Is Claimed Is:

1. A compound of Formula I:



**Formula I**

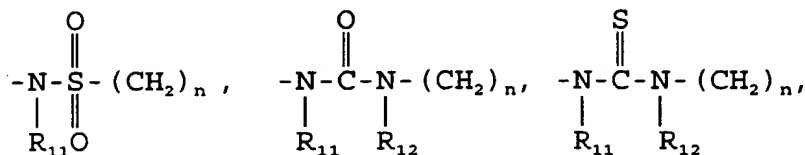
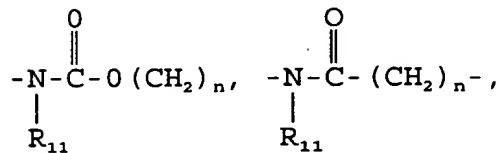
wherein W, X, Y and Z are each independently selected from C-R<sub>3</sub>, C-R<sub>4</sub>, C-R<sub>5</sub>, C-R<sub>6</sub> and N (nitrogen) and that no more than two of W, X, Y and Z are N;

wherein R<sub>3</sub>, R<sub>4</sub>, R<sub>5</sub> and R<sub>6</sub> are each independently hydrogen, hydroxy, sulfhydryl, lower alkoxy (1-4 carbon atoms), lower thioalkoxy (1-4 carbon atoms), lower alkyl (1-4 carbon atoms), halo, CN, CF<sub>3</sub>, NO<sub>2</sub>, COOR, or NR<sub>7</sub>R<sub>8</sub>;

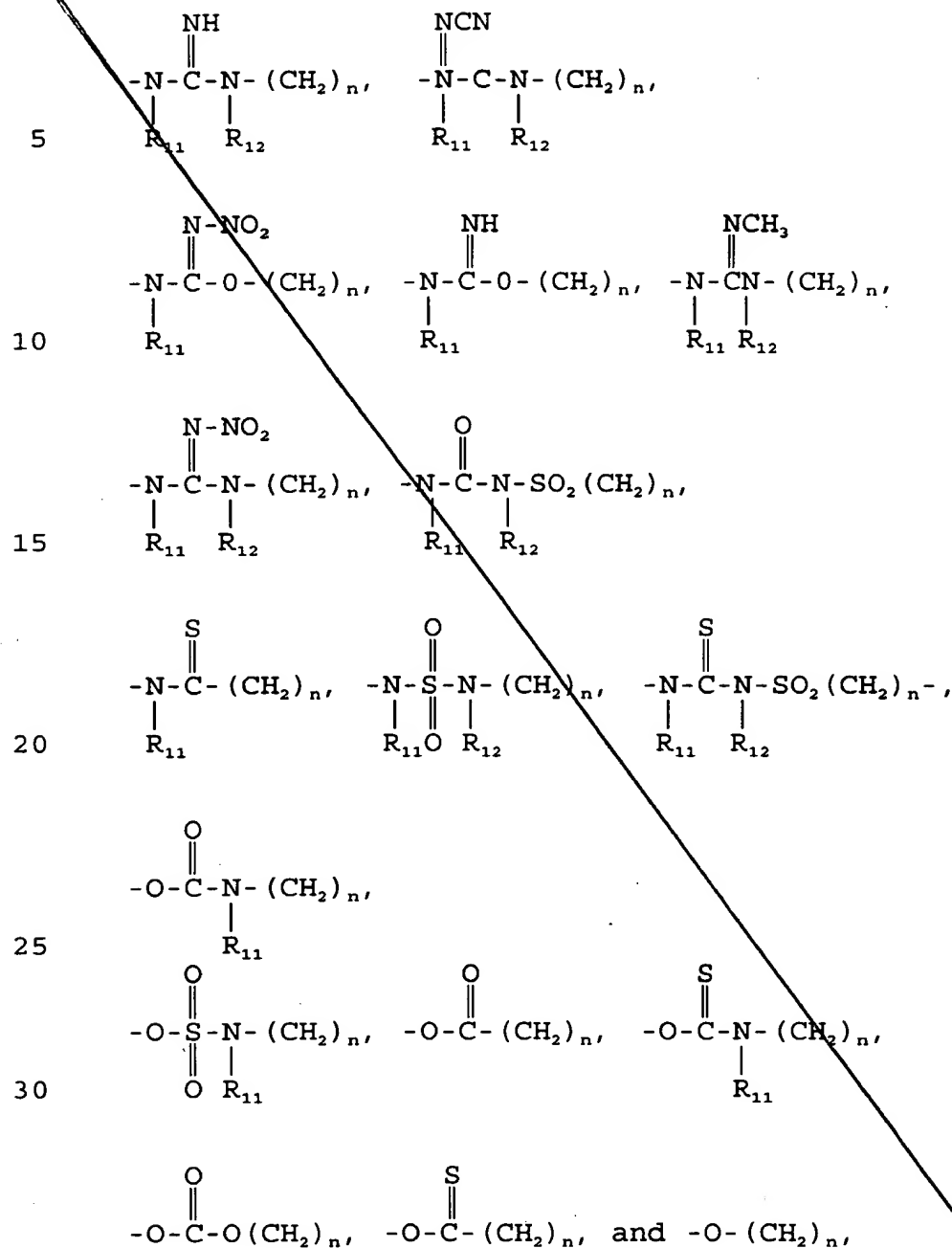
wherein R<sub>7</sub> and R<sub>8</sub> are independently hydrogen or lower alkyl (1-4 carbon atoms);

M is oxygen or sulfur;

A is selected from the group consisting of:



Sub  
B1

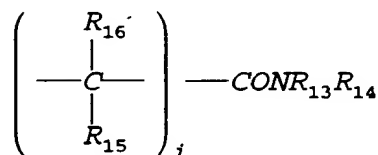
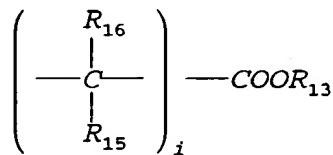


wherein  $\text{R}_{11}$  and  $\text{R}_{12}$  are independently hydrogen or lower alkyl (1-4 carbon atoms);  $n = 0$  or 1;  
 $\text{R}_1$  and  $\text{R}_2$  independently are:  
 an alkyl of 1 to 6 carbon atoms,

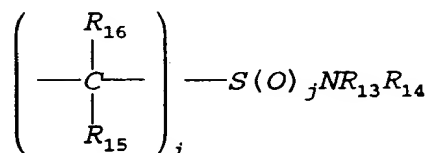
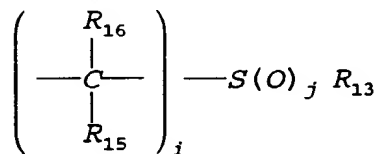
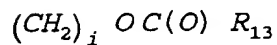
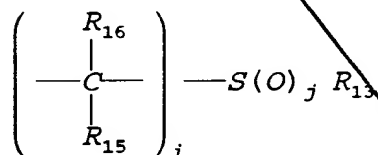
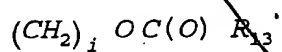
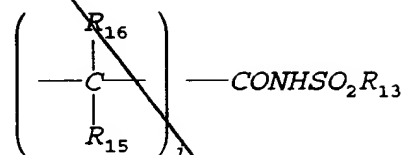
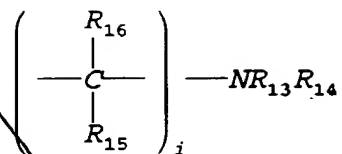
unsubstituted, mono or polysubstituted phenyl or  
 polyaromatic,  
 unsubstituted, mono or polysubstituted heteroaromatic,  
 with hetero atom(s) N (nitrogen), O (oxygen) and/or S  
 (sulfur) or,  
 unsubstituted, mono or polysubstituted aralkyl,  
 unsubstituted, mono or polysubstituted cyclo or  
 polycycloalkyl hydrocarbon, or  
 mono or polyheterocycle (3 to 8 atoms per ring) with one  
 to four hetero atoms as N (nitrogen), O (oxygen) or S  
 (sulfur); and

wherein the substitutions are selected from

- hydrogen
- lower alkyl of 1-4 carbon atoms,
- $(CH_2)_iOR_{13}$
- $(CH_2)_iSR_{13}$
- trifluoromethyl
- nitro
- halo
- cyano
- azido
- acetyl



Sub  
B1



- $(CH_2)_i$  - tetrazole, and
- polyhydroxy alkyl or cycloalkyl of from 5 to 8 carbon atoms,

Sub,  
B

wherein i and j are independently 0, 1, 2,  
R<sub>13</sub>, R<sub>14</sub>, R<sub>15</sub>, R<sub>16</sub> are each independently hydrogen, lower  
alkyl (1-4 carbon atoms), alkaryl of from 7 to 10 carbon  
atoms;

5 NR<sub>13</sub>R<sub>14</sub> is also mono or bicyclic ring with one  
to four hetero atoms as N,O,S;

provided that when W, X, Y and Z are each C-R<sub>3</sub>,  
C-R<sub>4</sub>, C-R<sub>5</sub> and C-R<sub>6</sub> and R<sub>3</sub>, R<sub>4</sub>, R<sub>5</sub> and R<sub>6</sub> are hydrogen and

10 
$$\begin{array}{c} \text{O} \\ || \\ \text{NH}-\text{C}- \end{array}$$
 and R<sub>1</sub> is unsubstituted phenyl, then R<sub>2</sub>  
cannot be unsubstituted phenyl;

further provided that when W, X, Y and Z are  
each C-R<sub>3</sub>, C-R<sub>4</sub>, C-R<sub>5</sub>, and C-R<sub>6</sub> and R<sub>3</sub>, R<sub>4</sub>, R<sub>5</sub> and R<sub>6</sub> are  
15 hydrogen or halogen and

$$\begin{array}{c} \text{O} \\ || \\ \text{NH}-\text{C}-\text{NH}- \end{array}$$
, and  
M is oxygen, and

20 R<sub>2</sub> is unsubstituted or mono substituted phenyl and  
wherein substitution is chloro, bromo, butyl, n-butoxy,  
iso-butoxy, then R<sub>1</sub> cannot be unsubstituted or mono  
substituted phenyl, or unsubstituted naphthyl wherein  
substitution is chloro or bromo;

25 furthermore provided that when W, X, Y and Z  
are each C-R<sub>3</sub>, C-R<sub>4</sub>, C-R<sub>5</sub>, and C-R<sub>6</sub> and R<sub>3</sub>, R<sub>4</sub>, R<sub>5</sub> and R<sub>6</sub>  
are hydrogen or halogen and

30 
$$\begin{array}{c} \text{S} \\ || \\ \text{NH}-\text{C}-\text{NH}- \end{array}$$
, and  
M is oxygen, and

R<sub>1</sub> is unsubstituted phenyl, unsubstituted benzyl,  
unsubstituted naphthyl or mono substituted phenyl  
wherein substitution is halogen, methyl, n-butyl or  
35 methoxy, then R<sub>2</sub> cannot be: a) unsubstituted phenyl; b)  
unsubstituted naphthyl; c) unsubstituted benzyl; d) mono

Sub  
B1

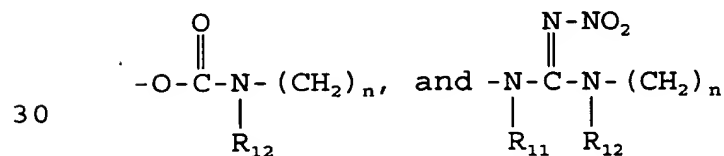
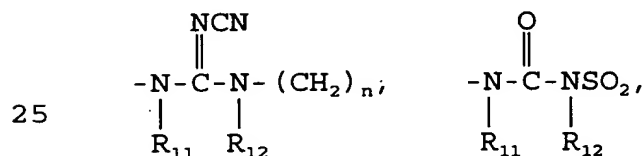
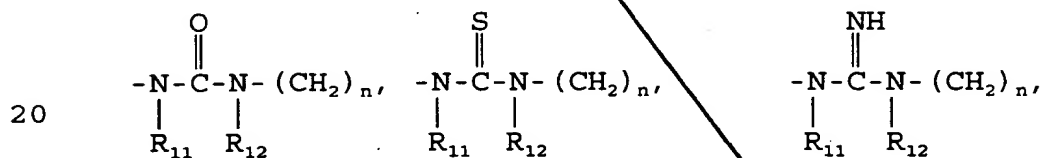
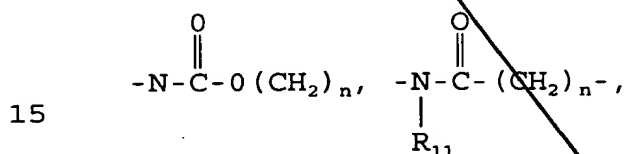
substituted phenyl wherein substitution is halogen, methyl, n-butoxy, iso-butoxy, or methoxy; or e) disubstituted phenyl wherein substitution is methyl.

2. The compound of claim 1 wherein:

5 W and Y are each independently C-R<sub>3</sub>, C-R<sub>5</sub> or N, X and Z are each independently C-R<sub>4</sub> or C-R<sub>6</sub>, wherein R<sub>3</sub>, R<sub>4</sub>, R<sub>5</sub> and R<sub>6</sub> are each independently chlorine, bromine, iodine, carbmethoxy, carboxy, methoxy, methyl, thio, thiomethyl, thioethyl, and hydroxy;

10 M is O or S;

A is selected from



wherein R<sub>11</sub> and R<sub>12</sub> are independently hydrogen or alkyl of from 1 to 4 carbon atoms, n is 0 or 1;

35 R<sub>1</sub> and R<sub>2</sub> are independently an unsubstituted, mono or polysubstituted

Sub B'  
phenyl,  
pyridyl,  
pyrrolyl,  
furanyl,  
5 thiofuranyl,  
pyrimidinyl,  
indolyl,  
quinolinyl,  
quinaxolinyl; or

10 a cyclo or polycycloalkyl hydrocarbon of 6 to 12 carbon atoms;

wherein the substituents are of claim 1, having up to three substituents per ring.

3. The compound of claim 1 wherein:

15 W is C-R<sub>3</sub> or N wherein R<sub>3</sub> is selected from hydrogen, chlorine, bromine, iodine, methoxy, and methyl;

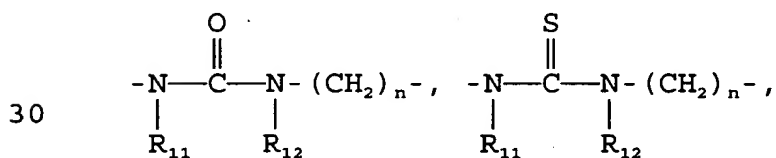
20 X is C-R<sub>4</sub> wherein R<sub>4</sub> is selected from hydrogen, chlorine, hydroxy, methoxy, sulfhydryl and thioethyl-ether;

Y is C-R<sub>5</sub> wherein R<sub>5</sub> is selected from hydrogen, chlorine, bromine, iodine, methoxy, methyl, carboxy, and carbmethoxy;

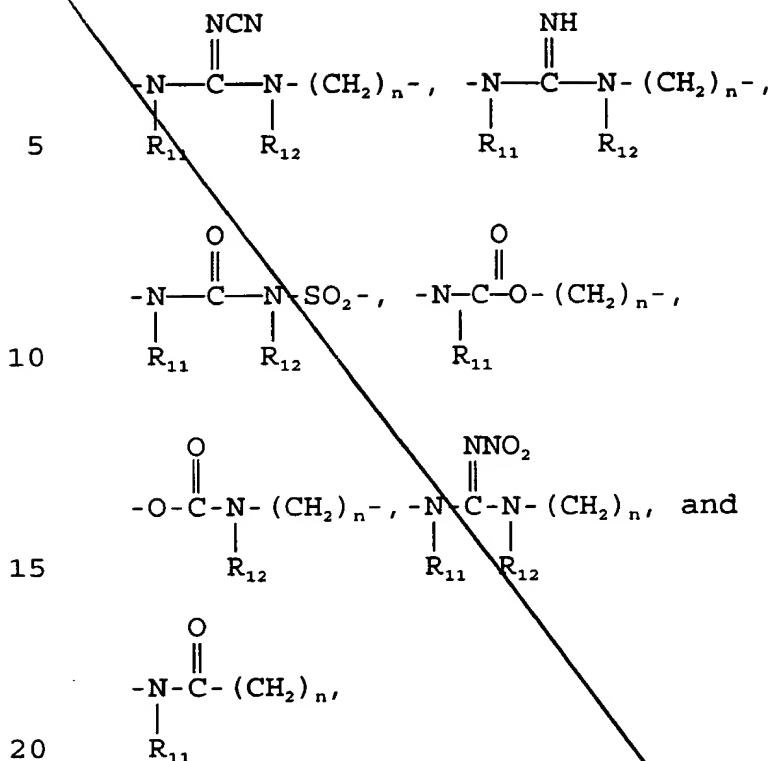
Z is C-R<sub>6</sub> and N, wherein R<sub>6</sub> is hydrogen;

25 M is oxygen or sulfur;

A is selected from



Sub  
B1



wherein  $\text{R}_{11}$  and  $\text{R}_{12}$  are hydrogen;  
n is 0 or 1;

$\text{R}_1$  and  $\text{R}_2$  are independently phenyl,  
mono or polysubstituted phenyl,  
25 pyridyl,  
pyrrolyl,  
furanyl,  
thiofuranyl,  
pyrimidinyl,  
30 indolyl,  
quinolinyl,  
quinaxolinyl;

wherein substitutions are the same as in claim

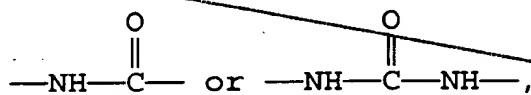
1.



4. The compound of claim 1 wherein:

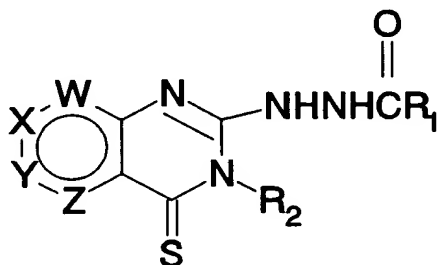
M is sulfur,

A is

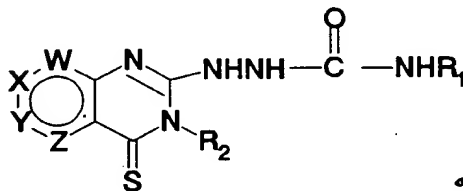


and W, X, Y, Z, R<sub>1</sub> and R<sub>2</sub> are as in claim 1.

5. The compound of claim 4 having the structure:



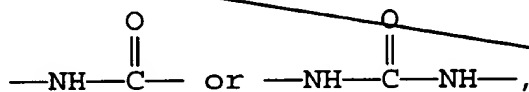
6. The compound of claim 4 having the structure:



7. The compound of claim 1 wherein:

M is oxygen;

A is



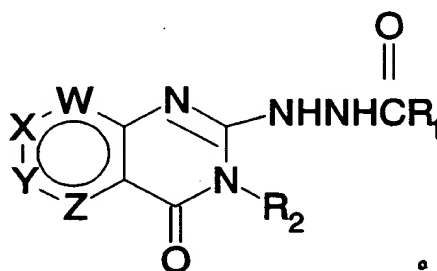
Sub  
B.2

W, X, Y, and Z are selected from C-R<sub>3</sub>, C-R<sub>4</sub>, C-R<sub>5</sub>, C-R<sub>6</sub> and N and at least one and no more than two of W, X, Y and Z are N. R<sub>1</sub>, R<sub>2</sub>, R<sub>3</sub>, R<sub>4</sub>, R<sub>5</sub> and R<sub>6</sub> are as defined in claim 1.

C

5

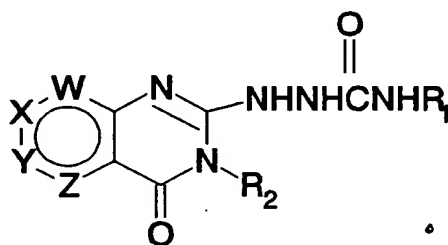
8. The compound of claim 54 having the structure:



B

C

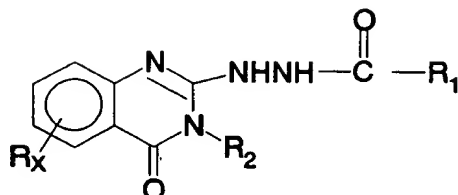
9. The compound of claim 54 having the structure:



B

Sub  
B3

10. The compound of claim 1 having the structure:



wherein  $R_x$  is hydroxy, sulfhydryl, lower alkoxy (1-4 carbon atoms), lower thioalkoxy (1-4 carbon atoms), lower alkyl (1-4 carbon atoms), halo, CN,  $CF_3$ ,  $NO_2$ ,  $COOR$ , or  $NR_xR_y$ , where  $x=0-3$ ;

wherein  $R_7$  and  $R_8$  are independently hydrogen or lower alkyl (1-4 carbon atoms);

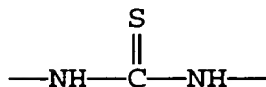
$R_1$  and  $R_2$  are as defined in Formula I.

11. The compound of claim 1 wherein:  
W, X, Y and Z are selected from C- $R_3$ , C- $R_4$ , C- $R_5$  and C- $R_6$ ;  
M is oxygen;

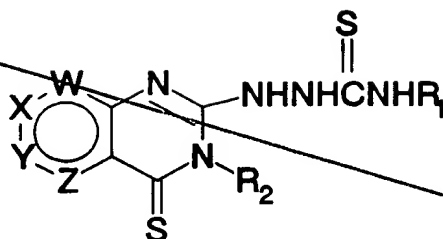
A is  
$$-NH-C(=O)- \text{ or } -NH-C(=O)-NH-$$

$R_1$  and  $R_2$  cannot both be phenyl in the same compound; and  
 $R_3$ ,  $R_4$ ,  $R_5$  and  $R_6$  are as defined in claim 1.

12. The compound of claim 1 wherein:  
M is S (sulfur);  
W, X, Y, Z,  $R_1$  and  $R_2$  are as defined in claim 1; and  
A is



having the structure:



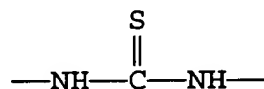
13. The compound of claim 1 wherein:

W, X, Y and Z are selected from C-R<sub>3</sub>, C-R<sub>4</sub>, C-R<sub>5</sub>, C-R<sub>6</sub> and N and at least one and no more than two W, X, Y and Z are N;

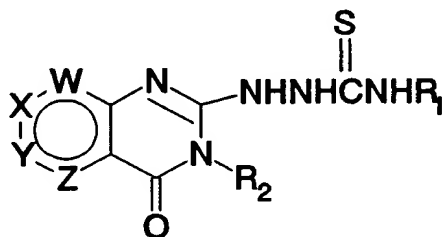
R<sub>1</sub>, R<sub>2</sub>, R<sub>3</sub>, R<sub>4</sub>, R<sub>5</sub> and R<sub>6</sub> are as defined in claim 1;

M is oxygen; and

A is



having the structure:



14. The compound of claim 1 wherein:

W, X, Y and Z are selected from C-R<sub>3</sub>, C-R<sub>4</sub>, C-R<sub>5</sub>, and C-R<sub>6</sub> wherein R<sub>3</sub>, R<sub>4</sub>, R<sub>5</sub> and R<sub>6</sub> are as defined in claim 1 except none can be hydrogen or halogen;

M is oxygen;

*Sub B4*

Sub B4  
A is



R<sub>1</sub> and R<sub>2</sub> are as defined in claim 1.

5

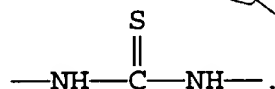
15. The compound of claim 1 wherein:

W, X, Y and Z are selected from C-R<sub>3</sub>, C-R<sub>4</sub>, C-R<sub>5</sub>, C-R<sub>6</sub>, wherein R<sub>3</sub>, R<sub>4</sub>, R<sub>5</sub> and R<sub>6</sub> are independently selected from hydrogen and halogen;

M is oxygen;

10

A is



Sub B5  
16. The compound of claim 1 wherein:

15

W, X, Y, and Z are each independently selected from C-R<sub>3</sub>, C-R<sub>4</sub>, C-R<sub>5</sub>, C-R<sub>6</sub> and wherein R<sub>3</sub>, R<sub>4</sub>, R<sub>5</sub> and R<sub>6</sub> are independently selected from hydroxy, sulfhydryl, lower alkoxy, lower thioalkoxy, lower alkyl, CN, CF<sub>3</sub>, NO<sub>2</sub>, COOR<sub>7</sub>, NR<sub>8</sub>, wherein R<sub>7</sub> and R<sub>8</sub> are as defined in claim 1;

20

M is oxygen; and

R<sub>1</sub> and R<sub>2</sub> are as defined in claim 1.

17. The compound of claim 1 wherein:

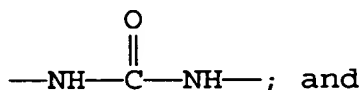
25

W, X, Y and Z are each independently selected from C-R<sub>3</sub>, C-R<sub>4</sub>, C-R<sub>5</sub>, C-R<sub>6</sub> and wherein R<sub>3</sub>, R<sub>4</sub>, R<sub>5</sub> and R<sub>6</sub> are as defined above but they cannot be hydrogen or halogen;

M is oxygen;

A is

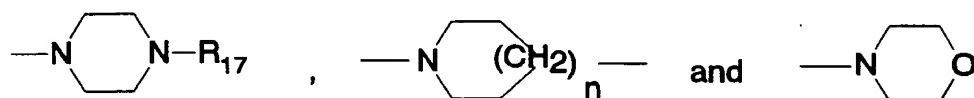
30



R<sub>1</sub> and R<sub>2</sub> are as defined in claim 1.

18. The compound of claim <sup>5a</sup> 1 wherein:

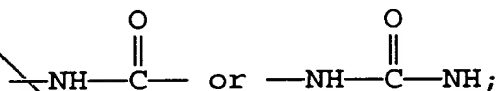
- R<sub>13</sub> and R<sub>14</sub> are each independently methyl, ethyl, t-butyl,
- R<sub>15</sub> and R<sub>16</sub> are each independently methyl, and
- 5 - NR<sub>13</sub>R<sub>14</sub> is selected from:



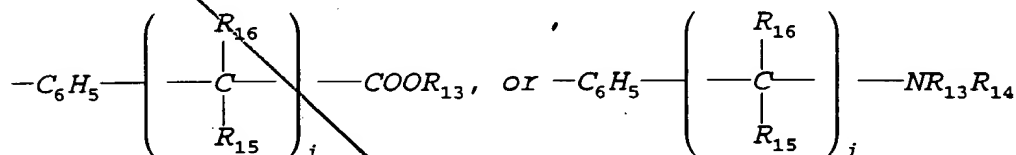
where R<sub>17</sub> is alkyl of 1 to 3 carbon atoms.

19. The compound of claim 1 wherein:

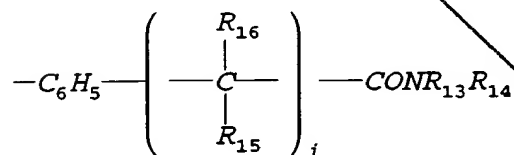
A is



R<sub>1</sub> is



or



R<sub>13</sub> and R<sub>14</sub> are each independently selected from hydrogen, methyl, ethyl, t-butyl, and benzyl;

wherein R<sub>15</sub> and R<sub>16</sub> are independently selected from hydrogen, methyl and ethyl;

i is 0 or 1;

M is O (oxygen); and

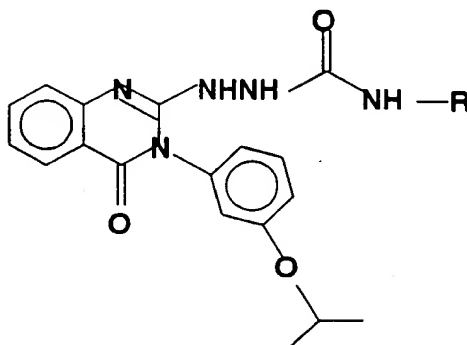
W, X, Y, Z and R<sub>2</sub> are as defined in claim 1.

Sub  
B 6

10

15

20. The compound ~~of claim 1~~ having the structure and meanings for R as indicated:



wherein R is selected from the group consisting of:

- a) 4-BrPh;
- b) 4-COOEt-Ph;
- c) 4-CF<sub>3</sub>Ph;
- d) 3-Me-Ph;
- e) 3,5-dichloro-4-pyridinyl;
- f) 3-COOEt-Ph;
- g) 3-COOtBu-Ph;
- h) 3-COOH-Ph;
- i) 4-MeO-Ph;
- j) 3-MeO-Ph;
- k) 2-MeO-Ph; and
- l) C<sub>6</sub>H<sub>5</sub>.

21. The compound of ~~claim 1~~<sup>50</sup> is selected from:  
Hydrazinecarboxamide, N-(4-bromophenyl)-2-[3,4-dihydro-3-[3-(1-methylethoxy)phenyl]-4-oxo-2-quinazolinyl]-;

Benzoic acid, 3-[[[2-[3,4-dihydro-3-[3-(1-methylethoxy)phenyl]-4-oxo-2-quinazolinyl]hydrazino]-carbonyl]amino]-ethyl ester;

Hydrazinecarboxamide, 2-[3,4-dihydro-3-[3-(1-methylethoxy)phenyl]-4-oxo-2-quinazolinyl]-N-(4-methoxyphenyl)-;

5 Hydrazinecarboxamide, 2-[3,4-dihydro-3-[3-(1-methylethoxy)phenyl]-4-oxo-2-quinazolinyl]-N-(3-methoxyphenyl)-;

Hydrazinecarboxamide, 2-[3,4-dihydro-3-[3-(1-methylethoxy)phenyl]-4-oxo-2-quinazolinyl]-N-(2-methoxyphenyl)-;

10 Hydrazinecarboxamide, 2-[3,4-dihydro-3-[3-(1-methylethoxy)phenyl]-4-oxo-2-quinazolinyl]-N-[(4-trifluoromethyl)phenyl]-;

15 Benzoic acid, 3-[[[2-[3,4-dihydro-3-[3-(1-methylethoxy)phenyl]-4-oxo-2-quinazolinyl]hydrazino]carbonyl]amino]-, 1,1-dimethylethyl ester;

Hydrazinecarboxamide, 2-[3,4-dihydro-3-[3-(1-methylethoxy)phenyl]-4-oxo-2-quinazolinyl]-N-(3-methylphenyl)-;

B  
B  
20 Hydrazinecarboxamide, N-(3,5-<sup>dichloro</sup>~~dichloro~~-4-pyridinyl)-2-[3,4-dihydro-3-[3-(1-methylethoxy)phenyl]-4-oxo-2-quinazolinyl];

Benzoic acid, 4-[[[2-[3,4-dihydro-3-[3-(1-methylethoxy)phenyl]-4-oxo-2-quinazolinyl]hydrazino]carbonyl]amino]- ethyl ester;

25 Benzoic acid, 2-[[[2-[3,4-dihydro-3-[3-(1-methylethoxy)phenyl]-4-oxo-2-quinazolinyl]hydrazino]carbonyl]amino]-, ethyl ester; and

30 Benzoic acid, 3-[[[2-[3,4-dihydro-3-[3-(1-methylethoxy)phenyl]-4-oxo-2-quinazolinyl]hydrazino]carbonyl]amino]-.



Sub  
B.7  
22. The compound of Claim 1 is selected from the group consisting of:

2-Thioxo-3-o-tolyl-2,3-dihydro-1H-quinazolin-4-one

3-(2-Ethyl-phenyl)-2-thioxo-2,3-dihydro-1H-quinazolin-4-one

3-(4-Chloro-phenyl)-2-thioxo-2,3-dihydro-1H-quinazolin-4-one

3-(2,3-Dichloro-phenyl)-2-thioxo-2,3-dihydro-1H-quinazolin-4-one

3-(3-Fluoro-phenyl)-2-thioxo-2,3-dihydro-1H-quinazolin-4-one

3-Naphthalen-1-yl-2-thioxo-2,3-dihydro-1H-quinazolin-4-one

3-(3-Methoxy-phenyl)-2-thioxo-2,3-dihydro-1H-quinazolin-4-one

2-Hydrazino-3-(3-methoxy-phenyl)-3H-quinazolin-4-one

3-(3-Dimethylamino-phenyl)-2-thioxo-2,3-dihydro-1H-quinazolin-4-one

3-[4-(Morpholine-4-sulfonyl)-phenyl]-2-thioxo-2,3-dihydro-1H-quinazolin-4-one

3-Pyridin-3-yl-2-thioxo-2,3-dihydro-1H-quinazolin-4-one

3-(4-Methoxy-phenyl)-2-thioxo-2,3-dihydro-1H-quinazolin-4-one

3-(3-Nitro-phenyl)-2-thioxo-2,3-dihydro-1H-quinazolin-4-one

3-(3-Isopropoxy-phenyl)-2-thioxo-2,3-dihydro-1H-pyrido[2,3-d]pyrimidin-4-one

3-(3,4-Dimethoxy-phenyl)-2-thioxo-2,3-dihydro-1H-quinazolin-4-one

23. The compound of Claim 1 is selected from the group consisting of:

2-Hydrazino-3-o-tolyl-3H-quinazolin-4-one

3-(2-Ethyl-phenyl)-2-hydrazino-3H-quinazolin-4-one

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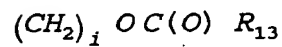
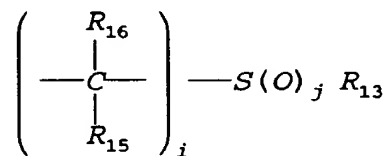
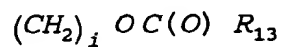
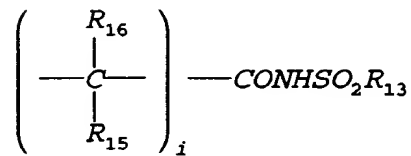
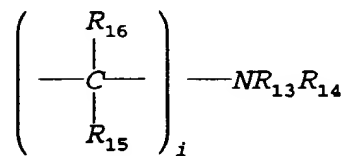
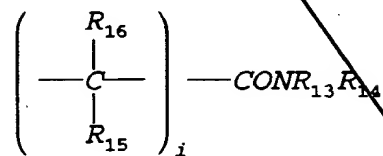
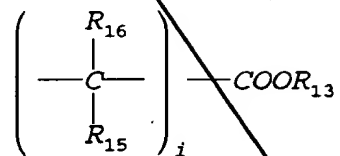
- 3- (4-Chloro-phenyl) -2-hydrazino-3H-quinazolin-4-one  
3- (2,3-Dichloro-phenyl) -2-hydrazino-3H-quinazolin-4-one  
3- (3-Fluoro-phenyl) -2-hydrazino-3H-quinazolin-4-one  
2-Hydrazino-3-naphthalen-1-yl-3H-quinazolin-4-one  
5 2-Hydrazino-3- (3-methoxy-phenyl) -3H-quinazolin-4-one  
3- (3-Fluoro-phenyl) -2-hydrazino-3H-quinazolin-4-one  
3- (3-Dimethylamino-phenyl) -2-hydrazino-3H-quinazolin-4-  
-one  
2-Hydrazino-3- [4- (morpholine-4-sulfonyl) -phenyl] -3H  
10 -quinazolin-4-one  
2-Hydrazino-3-pyridin-3-yl-3H-quinazolin-4-one  
2-Hydrazino-3- (4-methoxy-phenyl) -3H-quinazolin-4-one  
3- (3-Amino-phenyl) -2-hydrazino-3H-quinazolin-4-one  
2-Hydrazino-3- (3-isopropoxy-phenyl) -3H-pyrido[2,3  
15 -d]pyrimidin-4-one  
3- (3,4-Dimethoxy-phenyl) -2-hydrazino-3H-quinazolin-4-one

24. The compound of Claim 1 wherein R<sub>2</sub> is  
unsubstituted, mono or polysubstituted phenyl or  
polyaromatic,  
20 unsubstituted, mono or polysubstituted heteroaromatic,  
with hetero atom(s) N (nitrogen), O (oxygen) and/or S  
(sulfur) or,  
unsubstituted, mono or polysubstituted aralkyl,  
unsubstituted, mono or polysubstituted cyclo or  
25 polycycloalkyl hydrocarbon, or  
mono or polyheterocycle (3 to 8 atoms per ring) with one  
to four hetero atoms as N (nitrogen), O (oxygen) or S  
(sulfur); and  
wherein the substitutions are selected from  
30 - hydrogen  
- lower alkyl of 1-4 carbon atoms,  
- (CH<sub>2</sub>)<sub>i</sub>OR<sub>13</sub>  
- (CH<sub>2</sub>)<sub>i</sub>SR<sub>13</sub>

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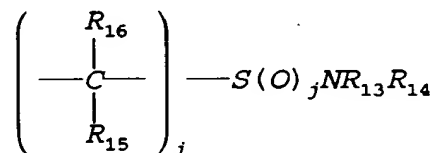
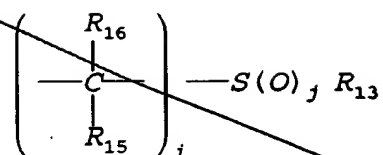
5

- trifluoromethyl
- nitro
- halo
- cyano
- azido
- acetyl



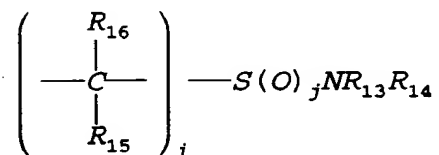
, and

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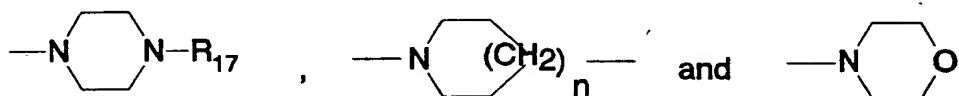


B C

25. The compound of Claim ~~21~~<sup>24</sup> wherein  $R_2$  is



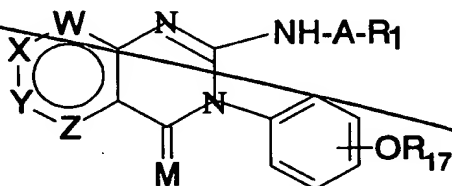
wherein  $-NR_{13}R_{14}$  is selected from



wherein  $R_{17}$  is alkyl of 1 to 3 carbon atoms.

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26. A compound having the structure:

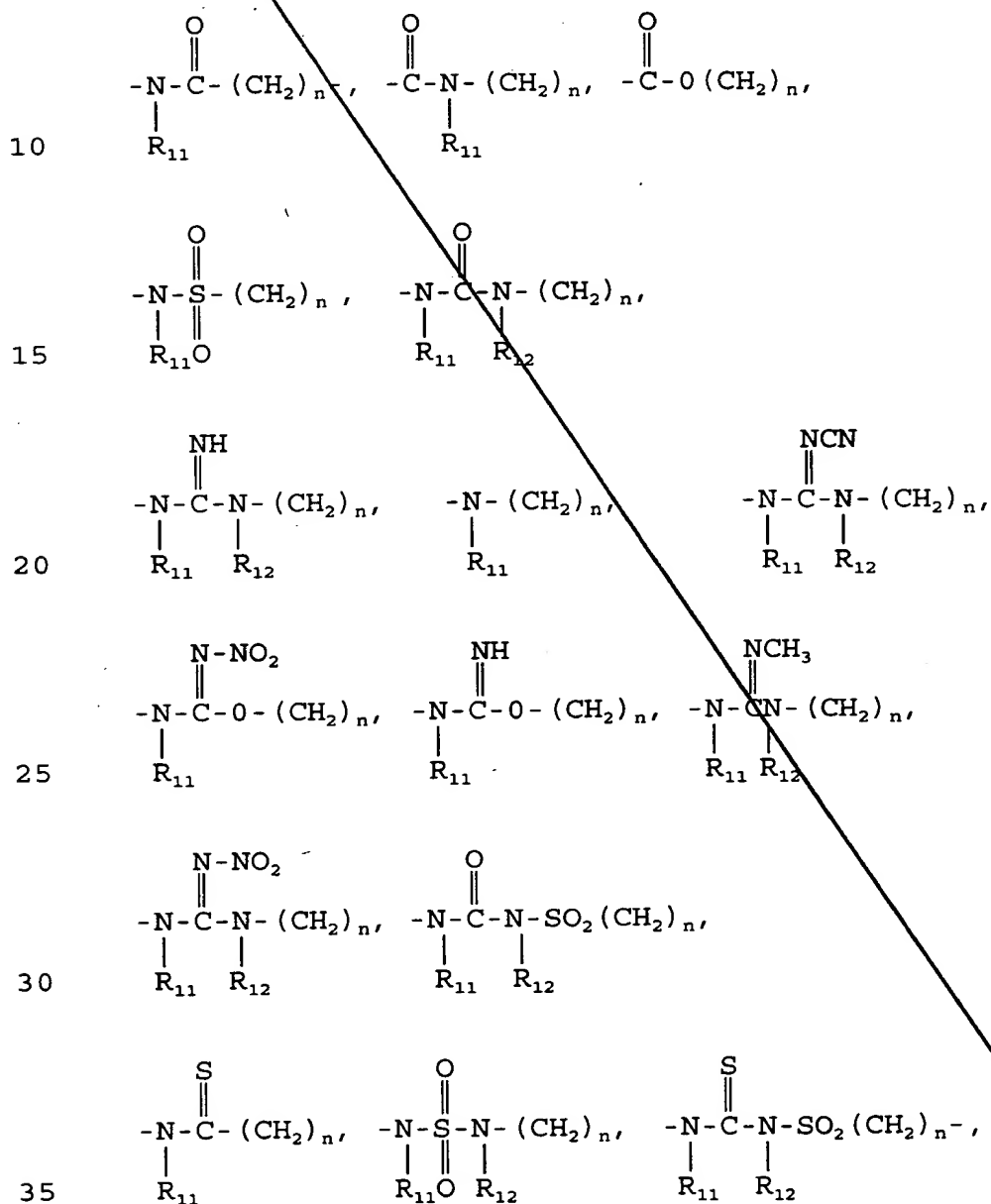


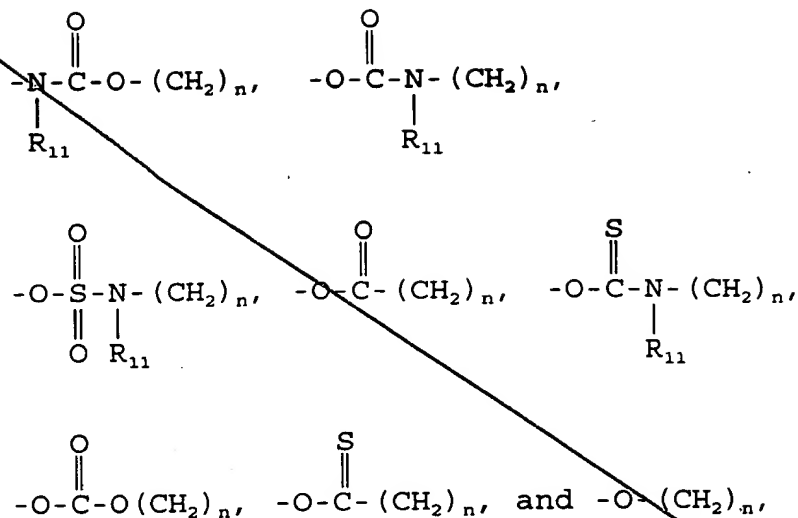
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wherein W, X, Y and Z are each independently selected from C-R<sub>3</sub>, C-R<sub>4</sub>, C-R<sub>5</sub>, C-R<sub>6</sub> and N (nitrogen) wherein no more than two of W, X, Y and Z are N;

M is oxygen or sulfur;

5 A is selected from the group consisting of:





wherein  $\text{R}_{11}$  and  $\text{R}_{12}$  are independently hydrogen or lower alkyl (1-4 carbon atoms);  $n = 0$  or  $1$ ;

$\text{R}_1$ ,  $\text{R}_3$ ,  $\text{R}_4$ ,  $\text{R}_5$ ,  $\text{R}_6$ ,  $\text{R}_7$ , and  $\text{R}_8$  are as defined in claim 1; and

$\text{R}_{17}$  is an alkyl of 1 to 3 carbon atoms.

27. The compound of claim <sup>62</sup>~~26~~ wherein

20 A is  $\text{NH}-\overset{\text{O}}{\parallel}{\text{C}}-\text{NH}-$ ; and  
M is oxygen.

28. The compound of claim <sup>62</sup>~~26~~ wherein W, X, Y and Z are each independently selected from C- $\text{R}_3$ , C- $\text{R}_4$ , C- $\text{R}_5$  and C- $\text{R}_6$ ,

30 A is  $\text{NH}-\overset{\text{O}}{\parallel}{\text{C}}-\text{NH}-$ ;  
M is oxygen; and  
 $\text{R}_{17}$  is i-propyl.

62

29. The compound of claim ~~26~~ wherein W, X, Y and Z are each independently selected from C-R<sub>3</sub>, C-R<sub>4</sub>, C-R<sub>5</sub> and C-R<sub>6</sub> and R<sub>3</sub>, R<sub>4</sub>, R<sub>5</sub> and R<sub>6</sub> are hydrogen,

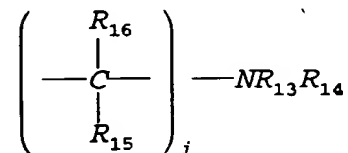
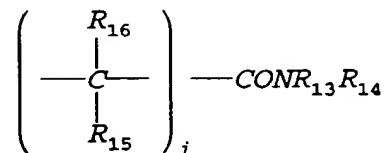
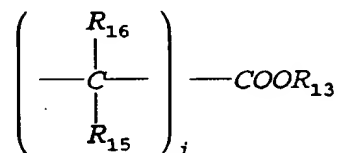
5 A is  $\text{—NH—}\overset{\text{O}}{\underset{\parallel}{\text{C}}}\text{—NH—};$

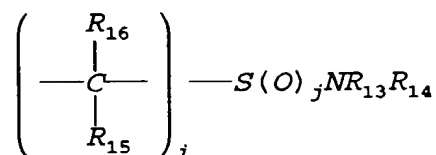
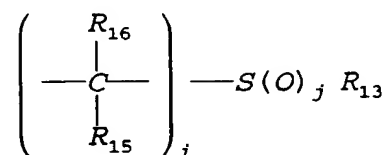
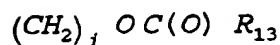
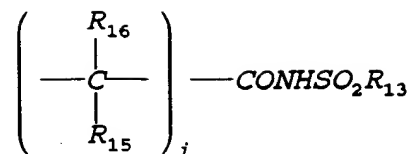
M is oxygen;

R<sub>17</sub> is i-propyl;

10 R<sub>1</sub> is mono or polysubstituted phenyl wherein substitution is selected from

- hydrogen
- lower alkyl of 1-4 carbon atoms,
- (CH<sub>2</sub>)<sub>i</sub>OR<sub>13</sub>
- (CH<sub>2</sub>)<sub>i</sub>SR<sub>13</sub>
- 15 - trifluoromethyl
- nitro
- halo
- cyano
- azido
- 20 - acetyl





- $(CH_2)_i$  - tetrazole, and
- polyhydroxy alkyl or cycloalkyl of from 5 to 8 carbon atoms,

wherein i and j are independently 0, 1, 2,

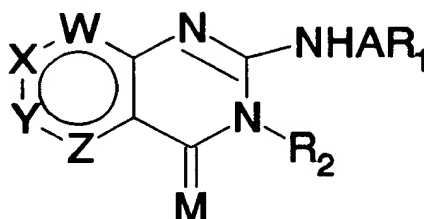
- 5  $R_{13}$ ,  $R_{14}$ ,  $R_{15}$ ,  $R_{16}$  are each independently hydrogen, lower alkyl (1-4 carbon atoms), alkaryl of from 7 to 10 carbon atoms; and

$NR_{13}R_{14}$  is also mono or bicyclic ring with one to four hetero atoms as N,O,S.

- 10 ~~30. A pharmaceutical composition comprising an effective therapeutic amount of the compound of Formula I and a pharmaceutically acceptable salt thereof~~



with a pharmaceutically acceptable carrier in unit dosage form:



**Formula I**

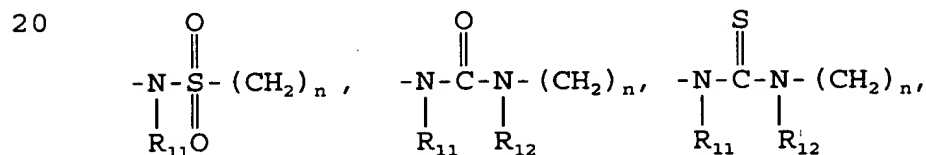
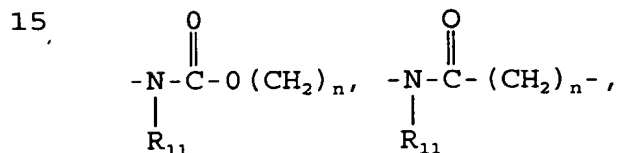
wherein W, X, Y and Z are each independently selected  
5 from C-R<sub>3</sub>, C-R<sub>4</sub>, C-R<sub>5</sub>, C-R<sub>6</sub> and N (nitrogen) and that no more than two of W, X, Y and Z are N;

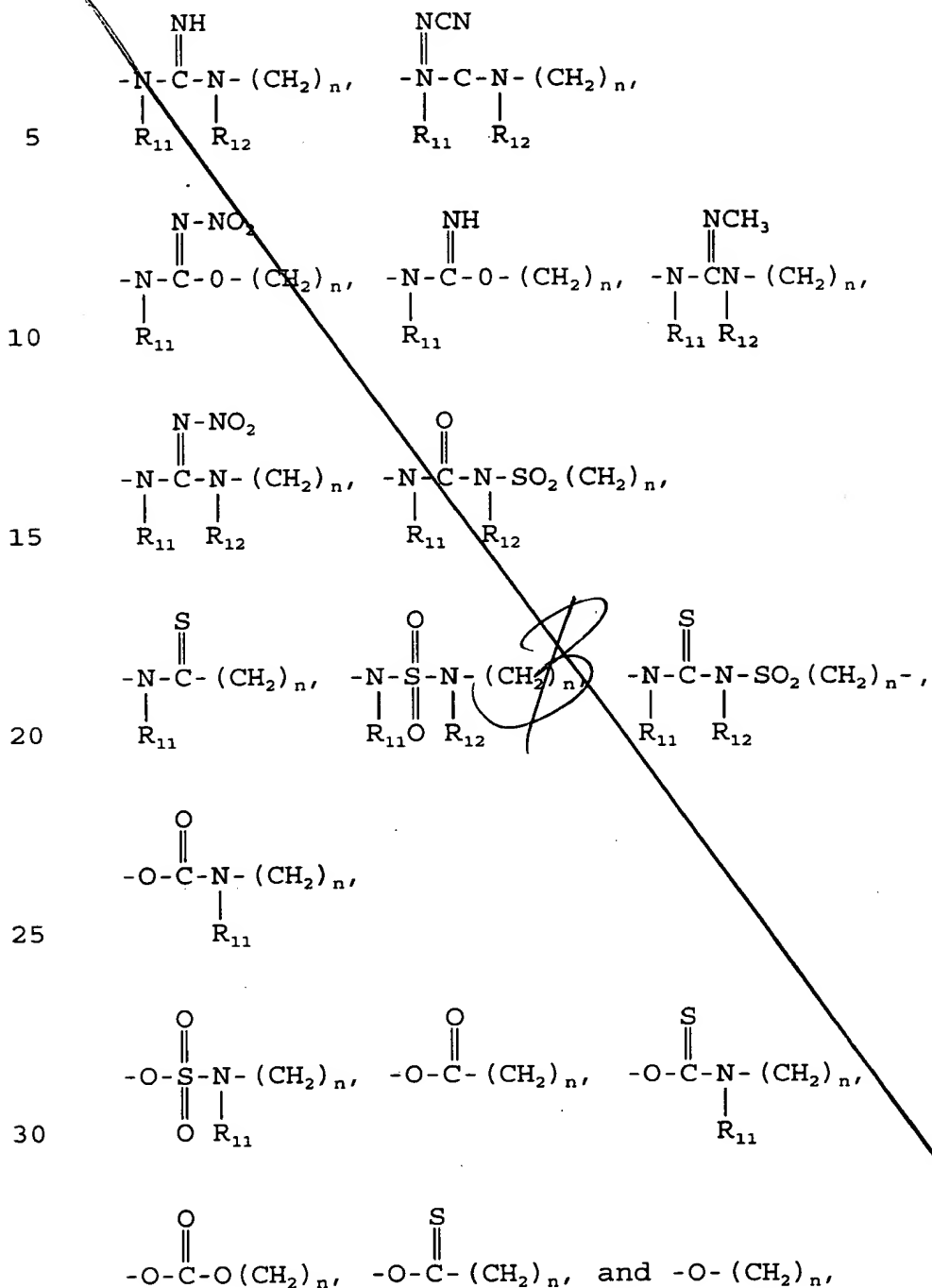
wherein R<sub>3</sub>, R<sub>4</sub>, R<sub>5</sub> and R<sub>6</sub> are each independently  
hydrogen, hydroxy, sulfhydryl, lower alkoxy (1-4 carbon  
atoms), lower thioalkoxy (1-4 carbon atoms), lower alkyl  
10 (1-4 carbon atoms), halo, CN, CF<sub>3</sub>, NO<sub>2</sub>, COOR<sub>7</sub>, or NR<sub>7</sub>R<sub>8</sub>;

wherein R<sub>7</sub> and R<sub>8</sub> are independently hydrogen or  
lower alkyl (1-4 carbon atoms);

M is oxygen or sulfur;

A is selected from the group consisting of:

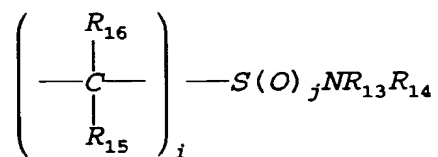
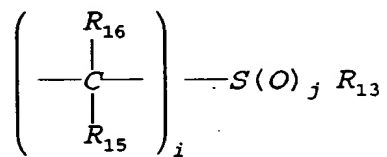
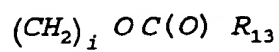
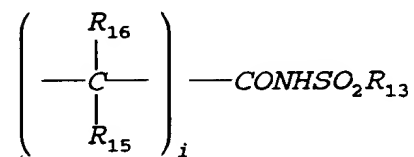
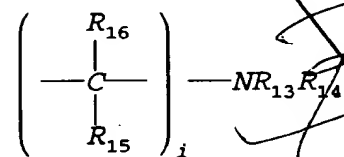
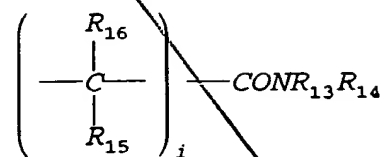
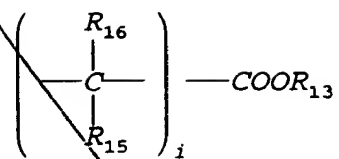




wherein  $\text{R}_{11}$  and  $\text{R}_{12}$  are independently hydrogen or lower alkyl (1-4 carbon atoms);  $n = 0$  or 1;

$R_1$  and  $R_2$  independently are:

- an alkyl of 1 to 6 carbon atoms,  
unsubstituted, mono or polysubstituted phenyl or  
polyaromatic,  
5 unsubstituted, mono or polysubstituted heteroaromatic,  
with hetero atom(s) N (nitrogen), O (oxygen) and/or S  
(sulfur) or,  
unsubstituted, mono or polysubstituted aralkyl,  
unsubstituted, mono or polysubstituted cyclo or  
10 polycycloalkyl hydrocarbon, or  
mono or polyheterocycle (3 to 8 atoms per ring) with one  
to four hetero atoms as N (nitrogen), O (oxygen) or S  
(sulfur); and  
wherein the substitutions are selected from  
15 - hydrogen  
- lower alkyl of 1-4 carbon atoms,  
-  $(CH_2)_iOR_{13}$   
-  $(CH_2)_iSR_{13}$   
- trifluoromethyl  
20 - nitro  
- halo  
- cyano  
- azido  
- acetyl



wherein i and j are independently 0, 1, 2,  
R<sub>13</sub>, R<sub>14</sub>, R<sub>15</sub>, R<sub>16</sub> are each independently hydrogen, lower  
alkyl, alkaryl of from 7 to 10 carbon atoms; and

NR<sub>13</sub>R<sub>14</sub> is also mono or bicyclic ring with one  
5 to four hetero atoms as N,O,S.

31. A method for treating a condition advantageously affected by the binding of a compound of Formula I to a CCK receptor in a mammal in need of such treatment comprising providing an effective binding  
10 amount of the compound of Formula I according to claim 30.

32. A method of suppressing appetite in a mammal, comprising administering an effective appetite  
15 suppressing amount to a mammal in need thereof a compound of Formula I according to claim 30.

33. A method of reducing gastric acid secretion in a mammal comprising administering an effective  
20 gastric acid secretion reducing amount to a mammal in need thereof a compound of Formula I according to claim 30.

34. A method of reducing anxiety in a mammal, comprising administering an effective anxiety reducing  
amount to a mammal in need thereof a compound of Formula I according to claim 30.

35. A method for treating gastrointestinal  
25 ulcers in a mammal comprising administering an effective gastrointestinal ulcer treating amount to a mammal in need thereof a compound of Formula I according to claim 30.

36. A method of treating psychosis in a mammal comprising administering an effective psychosis treating amount to a mammal in need thereof a compound of Formula I according to claim 30.

5 37. A method of blocking drug or alcohol withdrawal reaction in a mammal comprising administering an effective withdrawal reaction blocking amount to a mammal in need thereof a compound of Formula I according to claim 30.

10 38. A method of treating pain in a mammal comprising administering an effective amount to a mammal in need thereof a compound of Formula I according to claim 30.

15 39. A method of treating and/or preventing panic in a mammal comprising administering an effective amount to a mammal in need thereof a compound of Formula I according to claim 30.

20 40. A method of diagnosis of gastrin-dependent tumors in a mammal, comprising administering to the mammal in need thereof an effective diagnosing amount of a radiolabelled iodo compound of Formula I of claim 30.

add  
B9  
add  
C1